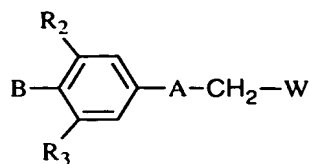


## CLAIMS

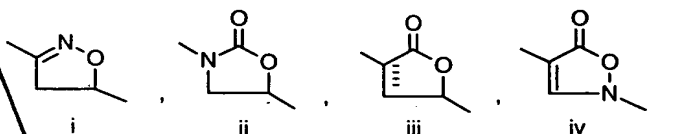
1. A compound of formula I



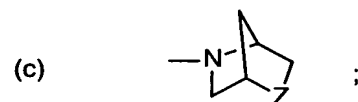
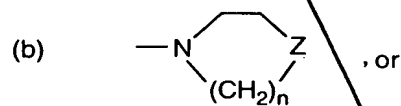
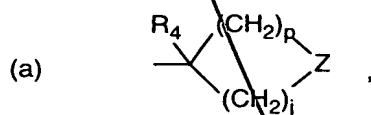
I

5 or a pharmaceutically acceptable salt thereof wherein:

A is a structure i, ii, iii, or iv



B is



10 W is  $\text{NHC}(=\text{X})\text{R}_1$ , or  $-\text{Y}-\text{het}$ ; provided that when A is a structure iv, W is not  $-\text{Y}-\text{het}$ ;  
X is O, or S; provided that when X is O, B is not the subsection (b).

Y is NH, O, or S;

Z is  $\text{S}(=\text{O})(=\text{N}-\text{R}_5)$ ;

$\text{R}_1$  is

- 15 (a) H,  
(b)  $\text{NH}_2$ ,  
(c)  $\text{NHC}_{1-4}\text{alkyl}$ ,  
(d)  $\text{C}_{1-4}\text{alkyl}$ ,  
(e)  $\text{C}_{2-4}\text{alkenyl}$ ,  
20 (f)  $\text{OC}_{1-4}\text{alkyl}$ ,

(g)  $SC_{1-4}$ alkyl, or

(h)  $(CH_2)_p C_{3-6}$ cycloalkyl;

at each occurrence, alkyl or cycloalkyl in  $R_1$  is optionally substituted with one or more F, Cl or CN;

5  $R_2$  and  $R_3$  are independently H, F, Cl, methyl or ethyl;

$R_4$  is H,  $CH_3$ , or F;

$R_5$  is

(a) H,

(b)  $C_{1-4}$ alkyl,

10 (c)  $C(=O)C_{1-4}$ alkyl,

(d)  $C(=O)OC_{1-4}$ alkyl,

(e)  $C(=O)NHR_6$ , or

(f)  $C(=S)NHR_6$ ;

$R_6$  is H,  $C_{1-4}$ alkyl, or phenyl;

15 at each occurrence, alkyl in  $R_5$  and  $R_6$  is optionally substituted with one or more halo, CN,  $NO_2$ , phenyl,  $C_{3-6}$  cycloalkyl,  $OR_7$ ,  $C(=O)R_7$ ,  $OC(=O)R_7$ ,  $C(=O)OR_7$ ,  $S(=O)_m R_7$ ,  $S(=O)_m NR_7 R_7$ ,  $NR_7 SO_2 R_7$ ,  $NR_7 SO_2 NR_7 R_7$ ,  $NR_7 C(=O)R_7$ ,  $C(=O)NR_7 R_7$ ,  $NR_7 R_7$ , oxo, or oxime;

$R_7$  is H,  $C_{1-4}$ alkyl, or phenyl;

20 at each occurrence, phenyl is optionally substituted with one or more halo, CN,  $NO_2$ , phenyl,  $C_{3-6}$  cycloalkyl,  $OR_7$ ,  $C(=O)R_7$ ,  $OC(=O)R_7$ ,  $C(=O)OR_7$ ,  $S(=O)_m R_7$ ,  $S(=O)_m NR_7 R_7$ ,  $NR_7 SO_2 R_7$ ,  $NR_7 SO_2 NR_7 R_7$ ,  $NR_7 C(=O)R_7$ ,  $C(=O)NR_7 R_7$ , or  $NR_7 R_7$ ;

het is a C-linked five- (5) membered heteroaryl ring having 1-4 heteroatoms selected from the group consisting of oxygen, sulfur, and nitrogen, or het is a C-linked six (6) membered

25 heteroaryl ring having 1-3 nitrogen atoms;

p is 0, 1, or 2;

j is 1, 2, 3, 4, or 5; provided that k and j taken together are 2, 3, 4 or 5;

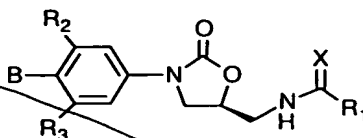
m is 0, 1, or 2;

n is 2 or 3; and ----- in structure iii is either a double bond or a single bond.

30

2. A compound of formula I which is a compound of formula IA:

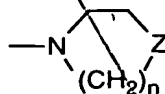
Sub  
B1

Sub  
B1

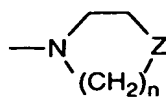
IA.

E1  
cont.

3. A compound of claim 2 wherein  $R_1$  is  $C_{1-4}$ alkyl.
- 5 4. A compound of claim 2 wherein  $R_1$  is ethyl.
5. A compound of claim 2 wherein  $R_1$  is methyl.
6. A compound of claim 2 wherein  $R_1$  is  $C_{3-6}$ cycloalkyl.
- 10 7. A compound of claim 2 wherein  $R_1$  is cyclopropyl.
8. A compound of claim 2-7 wherein X is sulfur atom.
- 15 9. A compound of claim 2-7 wherein X oxygen atom.
10. A compound of claim 8 wherein one of  $R_2$  and  $R_3$  is H, the other one is F.
11. A compound of claim 9 wherein one of  $R_2$  and  $R_3$  is H, the other one is F.
- 20 12. A compound of claim 8 wherein  $R_4$  is H.
13. A compound of claim 9 wherein  $R_4$  is H.
- 25 14. A compound of claim 8 wherein structure B is

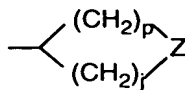
wherein Z is  $S(=O)(=NR_5)$ .

15. A compound of claim 9 wherein structure B is



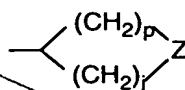
wherein Z is S(=O)(=NR<sub>5</sub>).

16. A compound of claim 8 wherein structure B is



wherein Z is S(=O)(=NR<sub>5</sub>)

17. A compound of claim 8 wherein structure B is



wherein Z is S(=O)(=NR<sub>5</sub>).

18. A compound of claim 14-17 wherein R<sub>5</sub> is H.

19. A compound of claim 14-17 wherein R<sub>5</sub> is C<sub>1-4</sub>alkyl, optionally substituted with OH; or C<sub>1-4</sub>alkyl substituted with C(=O)NHC<sub>1-4</sub>alkyl, C(=O)NH<sub>2</sub> or phenyl; wherein the phenyl is optionally substituted with OH, methyl, NO<sub>2</sub>, CF<sub>3</sub>, or CN.

20. A compound of claim 20 wherein R<sub>5</sub> is CH<sub>3</sub>, or ethyl.

21. A compound of claim 20 wherein R<sub>5</sub> is C<sub>1-4</sub>alkyl substituted with phenyl wherein the phenyl is optionally substituted with NO<sub>2</sub>.

22. A compound of claim 14-17 wherein R<sub>5</sub> is C(=O)C<sub>1-4</sub>alkyl, C(=O)OC<sub>1-4</sub>alkyl, C(=O)NH<sub>2</sub>, or C(=O)NHC<sub>1-4</sub>alkyl

23. A compound of claim 22 wherein R<sub>5</sub> is C(=O)NHCH<sub>3</sub>, or C(=O)NHCH<sub>2</sub>CH<sub>3</sub>.

24. A compound of claim 14-17 wherein R<sub>5</sub> is C(=O)CH<sub>3</sub>.

25. A compound of claim 14-17 wherein R<sub>5</sub> is C(=O)OCH<sub>3</sub>.

26. A compound of claim 2 which is
- (1) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ , 4-thiazinan-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)ethanethioamide;
  - (2) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ , 4-thiazinan-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide;
  - (3) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ , 4-thiazinan-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide;
  - (4) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ -thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide (E)-isomer;
  - (5) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ -thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)ethanethioamide (E)-isomer;
  - (6) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ -thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide (E)-isomer;
  - (7) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ -thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide (E)-isomer;
  - (8) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ -thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide (Z)-isomer;
  - (9) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ -thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)ethanethioamide (Z)-isomer;
  - (10) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ -thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide (Z)-isomer;
  - (11) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ -thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanethioamide (Z)-isomer;
  - (12) N-((5S)-3-[3-fluoro-4-[1-(acetylimino)-1-oxido-1 $\lambda^4$ -thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide, Z-isomer;
  - (13) N-((5S)-3-[3-fluoro-4-[1-(methyylimino)-1-oxido-1 $\lambda^4$ -thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
  - (14) N-((5S)-3-[3-fluoro-4-[1-(acetylimino)-1-oxido-1 $\lambda^4$ -thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
  - (15) N-((5S)-3-[3-fluoro-4-[1-(ethyylimino)-1-oxido-1 $\lambda^4$ -thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
  - (16) N-((5S)-3-[3-fluoro-4-[1-[(phenylmethyl)imino]-1-oxido-1 $\lambda^4$ -thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

- (17) N-(((5S)-3-[3-fluoro-4-[1-[(3-phenylpropyl)imino]-1-oxido-1,3-oxazolidin-5-yl]methyl]propanethioamide, Z-isomer;
- (18) N-(((5S)-3-[3-fluoro-4-(1-[(methylamino)carbonyl]imino)-1-oxido-1,3-oxazolidin-5-yl]methyl]propanethioamide, Z-isomer;
- (19) N-(((5S)-3-[3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxido-1,3-oxazolidin-5-yl]methyl]propanethioamide, Z-isomer;
- (20) N-(((5S)-3-[3-fluoro-4-(1-[(ethoxycarbonyl)methyl]imino)-1-oxido-1,3-oxazolidin-5-yl]methyl]propanethioamide, Z-isomer;
- (21) N-(((5S)-3-[3-fluoro-4-(1-[(4-nitrophenyl)amino]carbonyl]imino)-1-oxido-1,3-oxazolidin-5-yl]methyl]propanethioamide, Z-isomer ;
- (22) N-(((5S)-3-[3-fluoro-4-[1-[(aminocarbonyl)imino]-1-oxido-1,3-oxazolidin-5-yl]methyl]propanethioamide, Z-isomer;
- (23) N-(((5S)-3-[3-fluoro-4-[1-[(aminocarbonyl)methyl]imino]-1-oxido-1,3-oxazolidin-5-yl]methyl]propanethioamide, Z-isomer;
- (24) N-(((5S)-3-[3-fluoro-4-[1-[(2-hydroxyethyl)imino]-1-oxido-1,3-oxazolidin-5-yl]methyl]propanethioamide, Z-isomer;
- (25) N-(((5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1,3-oxazolidin-5-yl]methyl]propanethioamide;
- (26) N-(((5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1,3-oxazolidin-5-yl]methyl]cyclopropanecarbothioamide;
- (27) N-(((5S)-3-[3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxido-1,3-oxazolidin-5-yl]methyl]propanethioamide;
- (28) N-(((5S)-3-[3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxido-1,3-oxazolidin-5-yl]methyl]cyclopropanecarbothioamide ;

- (29) N-((5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1 $\lambda^4$ -thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide, Z-isomer;
- (30) N-(((5S)-3-{3-fluoro-4-[1-[(methoxycarbonyl)imino]-1-oxido-1 $\lambda^4$ -thiopyran-4-yl]phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide, Z-isomer;
- (31) N-(((5S)-3-{3-fluoro-4-[1-(methylimino)-1-oxido-1 $\lambda^4$ -thiopyran-4-yl]phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide, E-isomer;
- (32) N-(((5S)-3-{3-fluoro-4-[1-(methylimino)-1-oxido-1 $\lambda^4$ -thiopyran-4-yl]phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, E-isomer;
- (33) N-(((5S)-3-{3-fluoro-4-[1-[(phenylmethoxy)carbonyl]imino]-1-oxido-1 $\lambda^4$ -thiopyran-4-yl]phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide, Z-isomer; or
- (34) N-((5S)-3-[3-fluoro-4-(1-[(benzylamino)carbonyl]imino)-1-oxido-1 $\lambda^4$ -thiopyran-4-yl]phenyl)-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide, Z-isomer.
27. A compound of claim 2 which is
- (1) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ , 4-thiazinan-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)ethanethioamide;
- (2) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ , 4-thiazinan-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide;
- (3) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ , 4-thiazinan-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide;
- (4) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ -thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)ethanethioamide (Z)-isomer;
- (5) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ -thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide (Z)-isomer; or
- (6) N-((5S)-3-[3-fluoro-4-(1-imino-1-oxido-1 $\lambda^4$ -thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanethioamide (Z)-isomer.
28. A compound of claim 2 which is

- 0077-3992-60
- (1) N-((5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1,3-oxazolidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
- (2) N-((5S)-3-[3-fluoro-4-[1-(acetylmino)-1-oxido-1,3-oxazolidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
- 5 (3) N-((5S)-3-[3-fluoro-4-[1-[(methoxycarbonyl)imino]-1-oxido-1,3-oxazolidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
- (4) N-((5S)-3-[3-Fluoro-4-(1-[[[(4-nitrophenyl)amino]carbonyl]imino]-1-oxido-1,3-oxazolidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer ;
- 10 (5) N-((5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1,3-oxazolidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide, Z-isomer; or
- (6) N-(((5S)-3-[3-fluoro-4-[1-[(methoxycarbonyl)imino]-1-oxido-1,3-oxazolidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide, Z-isomer.
- 15
29. A compound of claim 2 which is
- (1) N-((5S)-3-[3-Fluoro-4-[1-(methylimino)-1-oxido-1,3-oxazolidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
- 20 (2) N-((5S)-3-[3-Fluoro-4-[1-(ethylimino)-1-oxido-1,3-oxazolidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
- (3) N-((5S)-3-[3-Fluoro-4-(1-[[[(methylamino)carbonyl]imino]-1-oxido-1,3-oxazolidin-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;
- 25 (4) N-(((5S)-3-[3-Fluoro-4-[1-(methylimino)-1-oxido-1,3,4-thiazinan-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide; or
- (5) N-(((5S)-3-[3-Fluoro-4-[1-(methylimino)-1-oxido-1,3,4-thiazinan-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide.
- 30

30. A method for treating microbial infections comprising: administering to a mammal in need thereof an effective amount of a compound of formula I as shown in claim 1.

31. The method of claim 30 wherein said compound of formula I is administered orally, parenterally, transdermally, or topically in a pharmaceutical composition.

32. The method of claim 30 wherein said compound is administered in an amount of from about 0.1 to about 100 mg/kg of body weight/day.

33. The method of claim 30 wherein said compound is administered in an amount of from about 1 to about 50 mg/kg of body weight/day.

34. A method for treating microbial infections of claim 30 wherein the infection is skin infection.

35. A method for treating microbial infections of claim 30 wherein the infection is eye infection.

36. A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

37. A compound of claim 1 wherein structure i, or iii is

